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                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
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                 CHEMSAFE now available on STN Easy
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                 ChemPort single article sales feature unavailable
NEWS 7
        DEC 12
                 GBFULL now offers single source for full-text
                 coverage of complete UK patent families
         DEC 17
NEWS 8
                 Fifty-one pharmaceutical ingredients added to PS
         JAN 06
                The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10
         JAN 07
                WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                 Classification Data
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
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Page 1

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100.0% PROCESSED 1057 ITERATIONS

SEARCH TIME: 00.00.01

2 ANSWERS

5 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 19190 TO 23090 2 TO 124 PROJECTED ANSWERS:

L3 2 SEA SSS SAM L1

=> search 12 ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:. ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:. SAMPLE SEARCH INITIATED 08:27:35 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2497 TO ITERATE

80.1% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 46943 TO 52937 PROJECTED ANSWERS: 5 TO 273

5 SEA SSS SAM L2

=> search 11 ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:. ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full FULL SEARCH INITIATED 08:27:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 21651 TO ITERATE

100.0% PROCESSED 21651 ITERATIONS 78 ANSWERS SEARCH TIME: 00.00.01

78 SEA SSS FUL L1

=> search 12 ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:. ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full FULL SEARCH INITIATED 08:27:52 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 49956 TO ITERATE

100.0% PROCESSED 49956 ITERATIONS 108 ANSWERS SEARCH TIME: 00.00.01

L6 108 SEA SSS FUL L2

=> s 16 not 15 30 L6 NOT L5 L7

=> file caplus SINCE FILE TOTAL ENTRY SESSION 372.72 372.94 COST IN U.S. DOLLARS FULL ESTIMATED COST

Page 3

FILE 'CAPLUS' ENTERED AT 08:28:14 ON 17 FEB 2009
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s 130

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=> s 17 L8 10 L7

=> d 18 fbib ab hitstr 1-10

L8 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:16686 CAPLUS

DN 138:205004

TI Substituted Pyrazolopyridopyridazines as Orally Bioavailable Potent and Selective PDE5 Inhibitors: Potential Agents for Treatment of Erectile Dysfunction

AU Yu, Guixue; Mason, Helen; Wu, Ximao; Wang, Jian; Chong, Saeho; Beyer, Bruce; Henwood, Andrew; Pongrac, Ronald; Seliger, Laurie; He, Bin; Normandin, Diane; Ferrer, Pam; Zhang, Rongan; Adam, Leonard; Humphrey, William G.; Krupinski, John; Macor, John E.

CS Discovery Chemistry, Drug Metabolism and Pharmacokinetics, Princeton, NJ, 08543-5400, USA

SO Journal of Medicinal Chemistry (2003), 46(4), 457-460 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

- OS CASREACT 138:205004
- AB Novel pyrazolopyridopyridazines, e.g. I, have been prepared as potent and selective PDE5 inhibitors. I has been identified as a more potent and selective PDE5 inhibitor than sildenafil. It is as efficacious as sildenafil in in vitro and in vivo PDE5 inhibition models, and it is orally bioavailable in rats and dogs. The superior isoenzyme selectivity of I is expected to exert less adverse effects in humans when used for erectile dysfunction treatment.
- 296248-82-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation) (preparation of substituted pyrazolopyridopyridazines as orally bioavailable selective PDE5 inhibitors for treatment of erectile dysfunction)

- RN
- 296248-82-3 CAPLUS CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-(4-pyridinylmethyl)- (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2000:688225 CAPLUS
- DN 133:252445
- Preparation of fused pyridopyridazine inhibitors of cGMP phosphodiesterase
- Yu, Guixue; Macor, John; Chung, Hyei-jha; Humora, Michael; Katipally, IN
- Kishta; Wang, Yizhe; Kim, Soojin
- PA Bristol-Myers Squibb Company, USA SO PCT Int. Appl., 137 pp.
 - CODEN: PIXXD2
- Patent

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PATENT NO.				KIND DATE		APPLICATION NO.						DATE						
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PI	WO	2000	0567	19		A1		2000	0928	1	WO 2	000-1	US61	00		2	0000	309
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			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
			IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
			MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
			SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZA,	zw			
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
			DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,

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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                      P 19990322
                                                      P 19990810
                                     US 1999-148009P
CA 2368023
                    Α1
                         20000928
                                     CA 2000-2368023
                                                           20000309
                                     US 1999-125488P
                                                       P 19990322
                                     US 1999-148009P
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                                     WO 2000-US6100
                                                        W 20000309
EP 1165521
                    A1
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                                     EP 2000-916180
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       IE, SI, LT, LV, FI, RO
                                                       P 19990322
                                     US 1999-125488P
                                     US 1999-148009P
                                                       P 19990810
                                     WO 2000-US6100
                                                       W 20000309
AII 765128
                    B2
                         20030911
                                     AII 2000-37327
                                                           20000309
                                     US 1999-125488P
                                                       P 19990322
                                     HS 1999-148009P
                                                      P 19990810
                                     WO 2000-US6100
                                                       W 20000309
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CN 1161341
                    С
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                                                       P 19990810
US 6316438
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                                                           20000315
                                     US 1999-125488P
                                                        P 19990322
                                     US 1999-148009P
                                                       P 19990810
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OS MARPAT 133:252445

- AB The title compds. [I; Y = N, CR5; Z = N, CR6 (provided that at least one of Y and Z = N); R1, R2 = H, halo, SR7, etc.; R3 = H, alkyl, arylalkyl; R4 = H, halo, alkyl, etc.; R5, R6 = H, halo, alkyl; R7 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts, inhibitors of cGMP PDE, especially type 5, useful in treating cardiovascular and sexual disorders, were prepared E.g., a multi-step synthesis of I [Y = N; Z = CH; R1 = 4-hydroxypiperidin-1-yl; R2 = (3-Cl-4-MeOC6H3)CH2NH; R3 = Et; R4 = H] was given. Compds. I are effective at 0.05-100 mg/kg/day.
- TZ 296248-82-3P 296248-95-8P 296249-08-6P 296249-10-0P 296249-11-1P 296249-30-4P 296249-33-7P 296249-43-9P 296249-44-0P 296249-68-8P 296249-59-7P 296249-62-2P 296249-68-8P 296249-59-8P 296249-81-8P 296249-59-P 296249-87-1P 296249-81-8P 296249-85-9P 296249-87-1P 296259-40-3P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)
- (preparation of fused pyridopyridazine inhibitors of cGMP phosphodiesterase) RN 296248-82-3 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine,
 N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-(4-pyridinylmethyl)- (CA
 NDRE NAME)

- RN 296248-95-8 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N6,N9-bis[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl- (CA INDEX NAME)

- RN 296249-08-6 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine,
 N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[(1-oxido-4pyridinyl)methyl]- (CA INDEX NAME)

- RN 296249-10-0 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-(2-pyridinylmethyl)- (CA INDEX NAME)

- RN 296249-11-1 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-(3-pyridinylmethyl)- (CA INDEX NAME)

- RN 296249-30-4 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[3-(4-methyl-1piperazinyl)propyl]- (CA INDEX NAME)

- RN 296249-33-7 CAPLUS

(CA INDEX NAME)

- RN 296249-43-9 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-M6-[(2,6-dichloro-4-pyriddinyl)methyl]-3-ethyl- (CA INDEX NAME)

- RN 296249-44-0 CAPLUS
- CN Ethanone, 1-[4-[[[9-[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-3Hpyrazolo[4',3':5,6]pyrido[3,4-d]pyridazin-6-yl]amino]methyl]-1piperidinyl]- (CA INDEX NAME)

RN 296249-48-4 CAPLUS

CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine,
N9-[(3-chloro-4-methoxypheny1)methy1]-3-ethy1-N6-[3-(1H-imidazol-1y1)propy1]- (CA INDEX NAME)

RN 296249-59-7 CAPLUS

CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

RN 296249-62-2 CAPLUS

CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-(4-piperidinylmethyl)-(CA INDEX NAME)

RN 296249-68-8 CAPLUS

CN 3H-Pyrazolo[41,3*:5,6]pyrido[3,4-d]pyridazine-6,9-diamine,
N9-[(3-chloro-4-methoxypheny)]methyl]-3-ethyl-N6-[2-(4-methyl-1piperazinyl)ethyl]- (CA INDEX NAME)

- RN 296249-79-1 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[[(2R)-tetrahydro-2-furanyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 296249-80-4 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[[(2S)-tetrahydro-2-furanyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 296249-84-8 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[3-(4-morpholinyl)propyl]-(CA INDEX NAME)

- RN 296249-85-9 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[2-(1-piperidinyl)ethyl]-(CA INDEX NAME)

- RN 296249-87-1 CAPLUS
- CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-N6-[2-(tetrahydro-2H-pyran-4-

yl)ethyl]- (CA INDEX NAME)

RN 296250-40-3 CAPLUS

CN 3H-Pyrazolo[4',3':5,6]pyrido[3,4-d]pyridazine-6,9-diamine, N9-[(3-chloro-4-methoxypheny)]methyl]-3-ethyl-N6-[2-(1H-imidazol-5v1)ethyl]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1983:612481 CAPLUS
- DN 99:212481
- OREF 99:32699a,32702a
- TI Condensed pyridazines. I. Reaction of
- 5,8-dichloropyrido[2,3-d]pyridazine with carbanion
- AU Oishi, Etsuo; Watanabe, Hiromi; Hayashi, Eisaku CS Shizuoka Coll. Pharm., Shizuoka, 422, Japan
- SO Yakugaku Zasshi (1983), 103(6), 623-30
- CODEN: YKKZAJ; ISSN: 0031-6903
- DT Journal
- LA Japanese
- OS CASREACT 99:212481
- AB Reaction of the title compound I (R = Rl = Cl) (II) with BtO2CCH2CN, MeCOCH2CO2Et, CH2(CO2Et)2, CH2(CN)2, and PhCH2CN in C6H6 in the presence of NaNH2 gave I [R = Et02CCHCN, CHC(N)2; Rl = Cl) and I [R = Cl; Rl = Et02CCHCN, CH2CO2Et, CH(CO2Et)2, PhCHCN]. II reacted with MeCOPh and EtCOPh in PhMe in the presence of NaH to give I (R = Rl = CH2COPh; R = Cl,

R1 = CH2COPh; R = C1, R1 = CHMeCOPh).

IT 87950-34-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 87950-34-3 CAPLUS

CN Ethanone, 2,2'-pyrido[2,3-d]pyridazine-5,8-diylbis[1-phenyl- (9CI) (CA INDEX NAME)

- L8 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1976:586482 CAPLUS
- DN 85:186482

OREF 85:29744h,29745a

- TI Structure-activity relations of the diuretic activity of triaza- and tetraazanaohthalene compounds
- AU Nishikawa, Kohei; Shimakawa, Hisao; Inada, Yoshiyuki; Shibouta, Yumiko; Kikuchi, Shintaro; Yuruqi, Shojiro; Oka, Yoshikazu
- CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
- Commical & Pharmaceutical Bulletin (1976), 24(9), 2057-77 CODEN: CPBTAL; ISSN: 0009-2363
- DT Journal
- LA English
- AB The diuretic activity of 219 nitrogen containing heterocyclic compds., classified into 13 groups based on the structural features, was studied in saline loaded rats. Of the compds. studied, 104 were active at oral doses of 10-30 mg/kg. Several of the pyrimidopyridazines, pyridazinopyridazines and pyridopyridazines produced as potent diuresis and natriuresis as hydrochlorothiazide [58-93-5] at the oral dose of 0.1 mg/kg; DS 210 (I) [3322-18-3] and DS 511 (II) [3952-28-7] were selected for more extensive evaluation as diuretic agents. Structure-activity relations of the tested compds. are discussed.
- IT 33222-21-8 39632-89-8 61098-88-2

RL: BIOL (Biological study)

(diuretic)

RN 33222-21-8 CAPLUS

CN Pyrimido[4,5-d]pyridazine-5,8-diamine, 2-phenyl-N5,N8-bis(phenylmethyl)-(CA INDEX NAME) Ph-CH2-NH

Ph-CH2-NH

39632-89-8 CAPLUS

CN Pyrido[3,4-d]pyridazine-1,4-diamine, 7-phenyl-N1,N4-bis(phenylmethyl)-(CA INDEX NAME)

NH-CH2-Ph

NH-CH2-Ph

61098-88-2 CAPLUS

CN Pyrido[2,3-d]pyridazine-5,8-diamine, 2-phenyl-N5,N8-bis(phenylmethyl)-(CA INDEX NAME)

Ph-CH2-NH

Ph-CH2-NH

- L8 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- 1976:17256 CAPLUS AN
- DN 84:17256
- OREF 84:2855a,2858a
- ΤI Syntheses of N-heterocyclic compounds. XXV. Syntheses of pyrido[3,4-d]pyridazine derivatives. 2
- Oka, Yoshikazu, Omura, Kiyoshi; Miyake, Akio; Itoh, Katsumi; Tomimoto, Mitsumi; Tada, Norio; Yurugi, Shojiro Med. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, Japan ΑU
- CS
- Chemical & Pharmaceutical Bulletin (1975), 23(10), 2239-50 SO CODEN: CPBTAL: ISSN: 0009-2363
- DT Journal
- LA English
- CASREACT 84:17256

AB Twenty-nine derivs. of the potent diuretic
1,4-dimorpholino-T-phenylpyrido[3,4-d]pyridazine, e.g., I (R = Ph, Me-,
Cl-, OZN-, and MeOC6H4, xylyl, 2-furyl, 2-pyridyl, 1-, 2-naphthyl; Rl = H,
Me, PhCH2; R2 = morpholino, piperidino, pyrrolidino), were prepared In
1,4-dichloropyrido[3,4-d]pyridazine the 4-chloro group was more reactive
toward nucleophilic substitution than the 1-chloro group. Some reaction
of I, e.g. acid hydrolysis, reduction and Grigard addition reaction were also
carried out. Significance of the ring N at the 6-position in I for
diuretic activity is discussed.

IT 57961-44-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 57961-44-1 CAPLUS CN Pyrido[3,4-d]pyridazine, 7-phenyl-1,4-bis[(phenylmethyl)thio]- (CA INDEX NAME)

- L8 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1973:58358 CAPLUS
- DN 78:58358
- OREF 78:9259a,9262a
- TI Syntheses of N-heterocyclic compounds. IX. Reduction of 2-aryl-5,8-disubstituted pyrimido[4,5-d]pyridazine
- AU Yurugi, Shojiro; Fushimi, Tomiyoshi; Hieda, Masaru
- CS Res. Dev. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
- SO Yakugaku Zasshi (1972), 92(11), 1316-21 CODEN: YKKZAJ; ISSN: 0031-6903
- DT Journal
- LA Japanese
- De Reduction of 2-aryl-5,8-disubstituted pyrimido[4,5-d]pyridazine ((I) (R = iso-PrNH, PhNH, PhCHENH, piperiddino, morpholino, etc.; RI = Ph, m-tolyl, p-ClC6H4, 2-thienyl, 5-morpholino-2-furyl)) to 2-aryl-3,4-dihydro-5,8-disubstituted-pyrimido[4,5-d]pyridazine (II) was carried out with NaBH4, LiAlH4, sodium isopentoxide, and a catalyst. Acylation of II gave 2-aryl-3-acyl-3,4-dihydro-5,8-dimorpholinopyrimido[4,5-d]pyridazine and alkylation of II gave 2-aryl-3-alkyl-3,4-dihydro-5,8-dimorpholinopyrimido[4,5-d]pyridazine. 2-Aryl-5,8-disubstituted-3,4-dihydropyrimido[4,5-d]pyridazines showed a strong diuretic activity.
- IT 33222-21-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
- (reduction of) RN 33222-21-8 CAPLUS
- CN Pyrimido[4,5-d]pyridazine-5,8-diamine, 2-phenyl-N5,N8-bis(phenylmethyl)-(CA INDEX NAME)

Ph-CH2-NH

- 1.8 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1973:43400 CAPLUS
- DN 78:43400
- OREF 78:6863a,6866a
- ΤI Syntheses of N-heterocyclic compounds. XII. Syntheses of pyrido[3,4-d]pyridazine and pyrido[2,3-d]pyridazine derivatives
- ΑU Yurugi, Shojiro; Fushimi, Tomiyoshi; Sugihara, Hirosada; Hieda, Masaru
- Res. Dev. Div., Takeda Chem. Ind., Ltd., Osaka, Japan Yakugaku Zasshi (1972), 92(11), 1333-8 CS
- SO CODEN: YKKZAJ; ISSN: 0031-6903
- DT Journal
- LA Japanese
- AB 1,2,3,4-Tetrahydro-7-phenylpyrido[3,4-d]pyridazine-1,4-dione (I) and 2-phenyl-5,6,7,8-tetrahydropyrido[2,3-d]pyridazine-5,8-dione (II) were converted to the corresponding dichlorides, which reacted with amines to give 1,4-bis(substituted amino)-7-phenylpyrido[3,4-d]pyridazines and 2-phenyl-5,8-bis-(substituted amino)pyrido[2,3-d]pyridazines. 1,4-Dimorpholino-7-phenylpyrido[3,4-d]pyridazine and
- 2-phenyl-5, 8-bis(isopropylamino)pyrido[2,3-d]pyridazine were diuretics. 39632-89-8P 39632-90-1P
- RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation of) RN 39632-89-8 CAPLUS
- CN Pyrido[3,4-d]pyridazine-1,4-diamine, 7-phenyl-N1,N4-bis(phenylmethyl)-(CA INDEX NAME)

- RN 39632-90-1 CAPLUS
- Pyrido[2,3-d]pyridazine-5,8-diamine, 2-phenyl-N5,N8-bis(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

Ph-CH2-NH

● HC1

- L8 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1972:514340 CAPLUS
- DN 77:114340
- OREF 77:18841a,18844a
- TI Synthesis of N-heterocyclic compounds. VII. 2-Aryl-5,8-disubstituted pyrimido[4,5-d]pyridazine
- AU Yurugi, Shojiro; Hieda, Masaru; Fushimi, Tomiyoshi; Kawamatsu, Yutaka; Sugihara, Hirosada; Tomimoto, Mitsumi
- CS Res. Dev. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
- SO Chemical & Pharmaceutical Bulletin (1972), 20(7), 1528-35 CODEN: CPBTAL; ISSN: 0009-2363
- DT Journal
- LA English
- MB When 2-aryl-5,8-dichloropyrimido[4,5-d]pyridazine (I, R = Rl = Cl) was reacted with nucleophiles, such as amines, sodium methoxide, sodium azide, sodium sulfide etc., 5,8-disubstituted I (R = Rl = PrNH, MeS, morpholino, etc. Ar = Ph, MeGGH4, 2-pyridyl, etc.) were obtained. treatment of 2-phenyl-5-chloro-8-morpholinopyrimido-[4,5-d]pyridazine or 2-phenyl-5-morpholino-8-chloropyrimido-[4,5-d]pyridazine with nucleophiles gave I (R = Rl). The phenyl group at the 2-position accelerated the substitution at position 5 and 8. The reaction of 2-phenyl-5,8-bis(substituted thio)pyrimido[4,5-d]pyridazine with Cl gave I (R = Rl = Cl, Ar = Ph). Several compds. showed diuretic activity.
 - 33222-21-8P 38277-18-8P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 33222-21-8 CAPLUS
- CN Pyrimido[4,5-d]pyridazine-5,8-diamine, 2-phenyl-N5,N8-bis(phenylmethyl)-

Ph-CH2-NH

RN 38277-18-8 CAPLUS

CN Pyrimido[4,5-d]pyridazine, 2-phenyl-5,8-bis[(phenylmethyl)thio]- (CA INDEX NAME)

Ph-CH2-S

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN L8

1971:476832 CAPLUS AN

DN 75:76832

OREF 75:12171a,12174a

Pyrimido[4,5-d]pyridazine derivatives IN

Yurugi, Shojiro; Kikuchi, Shintaro Takeda Chemical Industries, Ltd. PA

SO Ger. Offen., 33 pp. CODEN: GWXXBX

DT Patent

LA German FAN.CNT 1

E PAIN.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	DE 2046577	A	19710506	DE 1970-2046577	_	19700922
				JP 1969-76125	A	19690924
				JP 1970-54984	A	19700624
	JP 48011116	В	19730410	JP 1970-54984		19700624
	NL 7014065	A	19710326	NL 1970-14065		19700923
				JP 1969-76125	A	19690924
				JP 1970-54984	Α	19700624
	FR 2070085	A5	19710910	FR 1970-34490		19700923
	FR 2070085	B1	19750606			
				JP 1969-76125	A	19690924
	SE 363831	В	19740204	SE 1970-12956		19700923
				JP 1969-76125		19690924
				JP 1970-54984	A	19700624
	HU 164522	В	19740228	HU 1970-TA1090		19700923
				JP 1969-76125	A	19690924
				JP 1970-54984	Α	19700624
	NO 129907	В	19740610	NO 1970-3617		19700923
				JP 1969-76125	Α	19690924
				JP 1970-54984	Α	19700624
	PL 81368	B1	19750830	PL 1970-143378		19700923
				JP 1969-76125	A	19690924
				JP 1970-54984	Α	19700624
	AT 299218	В	19720612	AT 1970-8633		19700924
				JP 1969-76125	Α	19690924
				JP 1970-54984	Α	19700624
	DK 125472	В	19730226	DK 1970-4879		19700924
				JP 1969-76125	A	19690924

CB	1325769	A	19730808		1970-54984 1970-45525	A	19700624 19700924
UD.	1323703	n	19730000		1969-76125	Α	19690924
				JP	1970-54984	Α	19700624
GB	1325770	A	19730808	GB	1973-11962		19700924
				JP	1969-76125	A	19690924
				JP	1970-54984	Α	19700624
US	3764598	A	19731009	US	1970-75294		19700924
				JP	1969-76125	A	19690924
				JP	1970-54984	A	19700624
CH	547298	A	19740329	CH	1970-14165		19700924
				JΡ	1969-76125	A	19690924
				JP	1970-54984	A	19700624
CA	955941	A1	19741008	CA	1970-94012		19700924
				JΡ	1969-76125	A	19690924
				JP	1970-54984	A	19700624
FR	2108518	A5	19720519	FR	1971-34438		19710924
				ΑT	1970-8633	A	19700924

- AB The diuretic title compds. (I) were prepared in a five-step reaction. Thus, benzamidine hydrochloride and [(ethylethoxy)methylene]oxalacetate were condensed in MeOH with MeONa to give 2-phenyl-4,5-bis(ethoxycarbonyl)pyrimidine, which was refluxed with NH2NH2.-H2O in MeOH to give I (R1 = Ph, R2 = ONH2NH3, R3 = OH) (II).
- in aqueous HCl was stirred at room temperature to give I (R1 = Ph. R2 = R3 = OH) (III). A mixture of III, POCl3, and PCl5 was heated 3 hr to give I (R1 = Ph, R2 = R3 = C1), was heated with morpholine for 3 hr at $80-5^{\circ}$ to give I (R1 = Ph, R2 = R3 = morpholino). Similarly prepared were .apprx.15
- more I (R2 = R3 = aminosubstituted) and their corresponding intermediates. 33222-21-8P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- 33222-21-8 CAPLUS RN
- Pyrimido[4,5-d]pyridazine-5,8-diamine, 2-phenyl-N5,N8-bis(phenylmethyl)-CN (CA INDEX NAME)

Ph-CH2-NH

- ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN L8
- ΑN 1967:37890 CAPLUS
- DN 66:37890
- OREF 66:7227a,7230a
- The synthesis of pyrazino[2,3-d]pyridazine and some of its derivatives
- AU Patel, Natubhai R.; Castle, Raymond N.
- Univ. of New Mexico, Albuquerque, NM, USA
- Journal of Heterocyclic Chemistry (1966), 3(4), 512-17 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB Pyrazino[2,3-d]pyridazine (I) was synthesized by two different routes.

5,8-Dihydroxypyrazino-[2,3-d]pyridazine was converted to

5,8-Dihydroxypyrazino-[2,3-d]pyridazine (II) and

5,8-dibromopyrazino[2,3-d]pyridazine. When II was treated with various
benzyl mercaptans and alk-oxides the corresponding disubstituted drivs.
were obtained. II when allowed to react with aromatic amines gave

5,8-diaminopyrazino[2,3-d]pyridazines; however, with aliphatic amines only
mono-substituted products were obtained substituted in the 8-position.

The reaction of pyrazine-2,3-dinitrile with hydrazine gave

5,8-diaminopyrazino[2,3-d]pyridazine. 13480-47-2P 13480-48-3P 13480-49-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 13480-47-2 CAPLUS

CN Pyrazino[2,3-d]pyridazine, 5,8-bis[(phenylmethyl)thio]- (CA INDEX NAME)

Ph-CH2-S

ΙT

Ph-CH2-S

RN 13480-48-3 CAPLUS

CN Pyrazino[2,3-d]pyridazine, 5,8-bis[[(4-chlorophenyl)methyl]thio]- (CA INDEX NAME)

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RN 13480-49-4 CAPLUS

CN Pyrazino[2,3-d]pyridazine, 5,8-bis[[(3,4-dichlorophenyl)methyl]thio]- (CA INDEX NAME)

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